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Claims

1. A formulation comprising olanzapine or a pamoate salt or solvate thereof as an active ingredient and
5 one or more carriers selected from the group consisting of an oleaginous carrier or cholesterol microsphere carrier.

2. A formulation as claimed in **Claim 1** wherein
said formulation has a prolonged sustained release of
10 greater than 7 days and a burst release of less than 15% of
the active ingredient.

3. A formulation as claimed in **Claim 1** wherein
said carrier is oleagenous.

15

4. A formulation of **Claim 1** wherein said carrier
is selected from the group consisting of PLURONICS,
cellulosic, gums, polysaccharide gums, vegetable oils,
refined fractionated oils, sucrose diacetate
20 hexaisobutyrate, chitosan, lecithin, and Povidone.

5. A formulation as claimed in **Claim 4** wherein
said carrier is selected from the group consisting of
PLURONICS, cellulosic gums, polysaccharide gums, vegetable
25 oils, and refined fractionated oils.

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6. A formulation as claimed by **Claim 2** wherein
the formulation further comprises one or more
pharmaceutically acceptable excipients.

5 7. A formulation as claimed by **Claim 6** wherein
the pharmaceutically acceptable excipient is selected from
the group consisting of a gelling agent and an antihydration
agent.

10 8. A formulation as claimed in **Claim 7**
comprising olanzapine pamoate monohydrate, MIGLYOL812 and
white wax.

9. A formulation as claimed in **Claim 1** wherein
15 olanzapine is the substantially pure Form II polymorph
having a typical x-ray powder diffraction pattern as
represented by the following interplanar spacings:

| d (Å) |
|---------|
| 10.2689 |
| 8.577 |
| 7.4721 |
| 7.125 |
| 6.1459 |
| 6.071 |
| 5.4849 |
| 5.2181 |
| 5.1251 |
| 4.9874 |
| 4.7665 |

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4.7158
4.4787
4.3307
4.2294
4.141
3.9873
3.7206
3.5645
3.5366
3.3828
3.2516
3.134
3.0848
3.0638
3.0111
2.8739
2.8102
2.7217
2.6432
2.6007

10. A formulation as claimed in **Claim 1** wherein
the carrier is a cholesterol microparticle.

5 11. A formulation as claimed in **Claim 10** wherein
the microparticle is a microsphere.

12. A formulation as claimed in **Claim 10** wherein
the cholesterol is selected from the group consisting of
10 cholesterol, cholesterol palmitate, cholesterol oleate,
cholesterol stearate, and cholesterol hemisuccinate.

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13. A formulation as claimed in **Claim 10** wherein
the microspheres have a particle size of from 20 to 500 μ m.

14. A formulation as claimed in **Claim 13** wherein
5 the particle size is from 30 to 200 μ m.

15. A formulation as claimed in **Claim 14** wherein
the particle size is from 40 to 100 μ m.

10 16. A formulation as claimed in **Claim 10** wherein
the microspheres are administered in an oleaginous carrier.

17. A formulation as claimed in **Claim 16** wherein
the oleaginous carrier is selected from the group consisting
15 of PLURONICS, cellulosic gums, polysaccharide gums,
vegetable oils, and refined fractionated oils.

18. A formulation as claimed in **Claim 1** for use
as a depot dosage form.

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19. A formulation as claimed in **Claim 1** for use
as a fast acting intramuscular dosage form.

20. A formulation as claimed in **Claim 1** wherein
25 the active ingredient is selected from the group consisting

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of olanzapine, olanzapine dihydrate D, olanzapine pamoate,
olanzapine pamoate dimethanolate, olanzapine pamoate
monohydrate, olanzapine pamoate THF solvate, bis(olanzapine)
pamoate acetone solvate, and bis(olanzapine) pamoate
5 monohydrate.

21. A formulation as claimed in **Claim 20** wherein
the active ingredient is milled.

10 22. A formulation as claimed in **Claim 21** wherein
the particle size is from 20 to 60 μm .

23. A formulation as claimed in **Claim 22** wherein
the particle size is from 5 to 20 μm .

15 24. A formulation as claimed in **Claim 23** wherein
the milled particles are less than or equal to 5 μm .

25. A formulation as claimed in **Claim 20** wherein
20 the active ingredient is olanzapine pamoate monohydrate
having a typical x-ray powder diffraction pattern as
represented by the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 10.76 | 98 |
| 9.20 | 62 |
| 8.38 | 85 |
| 8.18 | 24 |
| 7.62 | 20 |

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| | |
|------|-----|
| 6.67 | 18 |
| 6.56 | 18 |
| 6.51 | 20 |
| 6.44 | 20 |
| 6.11 | 26 |
| 5.88 | 22 |
| 5.64 | 15 |
| 5.38 | 100 |
| 4.90 | 11 |
| 4.72 | 12 |
| 4.64 | 17 |
| 4.48 | 18 |
| 4.35 | 23 |
| 4.29 | 31 |
| 4.24 | 32 |
| 4.09 | 71 |
| 4.02 | 84 |
| 3.98 | 73 |
| 3.81 | 23 |
| 3.62 | 14 |
| 3.52 | 30 |
| 3.39 | 11 |
| 3.25 | 12 |
| 2.90 | 15 |
| 2.85 | 13 |

26. A formulation as claimed in **Claim 20** wherein
the active ingredient is bis(olanzapine) monohydrate having
5 a typical x-ray powder diffraction pattern as represented by
the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 15.77 | 26 |
| 10.44 | 23 |
| 9.64 | 24 |
| 9.31 | 13 |
| 8.27 | 23 |
| 8.17 | 14 |
| 8.13 | 14 |
| 7.84 | 27 |
| 7.81 | 30 |
| 7.41 | 60 |
| 7.12 | 40 |

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| | |
|------|-----|
| 7.00 | 13 |
| 6.96 | 13 |
| 6.55 | 45 |
| 6.18 | 53 |
| 5.87 | 38 |
| 5.80 | 19 |
| 5.59 | 89 |
| 5.25 | 26 |
| 5.00 | 34 |
| 4.96 | 31 |
| 4.88 | 61 |
| 4.85 | 73 |
| 4.71 | 34 |
| 4.52 | 19 |
| 4.33 | 11 |
| 4.19 | 100 |
| 4.12 | 48 |
| 4.05 | 39 |
| 3.97 | 30 |
| 3.89 | 31 |
| 3.80 | 29 |
| 3.72 | 20 |
| 3.70 | 21 |
| 3.58 | 33 |
| 3.45 | 27 |
| 3.04 | 13 |
| 2.84 | 16 |

27. A compound which is an olanzapine pamoate salt or solvate thereof.

5

28. A compound as claimed in Claim 27 wherein the pamoate salt is olanzapine pamoate dimethanolate having a typical x-ray powder diffraction pattern as represented by the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 11.17 | 73 |
| 9.37 | 17 |
| 8.73 | 40 |
| 8.29 | 23 |
| 7.77 | 14 |

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| | |
|------|-----|
| 7.22 | 24 |
| 6.84 | 31 |
| 6.66 | 54 |
| 6.42 | 11 |
| 6.40 | 11 |
| 6.17 | 26 |
| 5.87 | 12 |
| 5.56 | 100 |
| 4.84 | 11 |
| 4.66 | 17 |
| 4.57 | 26 |
| 4.48 | 22 |
| 4.35 | 19 |
| 4.28 | 19 |
| 4.12 | 94 |
| 4.03 | 91 |
| 3.89 | 52 |
| 3.62 | 44 |
| 3.54 | 11 |
| 3.29 | 16 |
| 3.13 | 16 |

29. A compound as claimed in **Claim 27** wherein the
pamoate salt is olanzapine pamoate monohydrate having a
5 typical x-ray powder diffraction pattern as represented by
the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 10.76 | 98 |
| 9.20 | 62 |
| 8.38 | 85 |
| 8.18 | 24 |
| 7.62 | 20 |
| 6.67 | 18 |
| 6.56 | 18 |
| 6.51 | 20 |
| 6.44 | 20 |
| 6.11 | 26 |
| 5.88 | 22 |
| 5.64 | 15 |
| 5.38 | 100 |
| 4.90 | 11 |
| 4.72 | 12 |
| 4.64 | 17 |
| 4.48 | 18 |

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| | |
|------|----|
| 4.35 | 23 |
| 4.29 | 31 |
| 4.24 | 32 |
| 4.09 | 71 |
| 4.02 | 84 |
| 3.98 | 73 |
| 3.81 | 23 |
| 3.62 | 14 |
| 3.52 | 30 |
| 3.39 | 11 |
| 3.25 | 12 |
| 2.90 | 15 |
| 2.85 | 13 |

30. A compound as claimed in **Claim 27** wherein the pamoate salt is bis(olanzapine) pamoate acetone solvate having a typical x-ray powder diffraction pattern as
5 represented by the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 16.87 | 32 |
| 9.58 | 35 |
| 8.88 | 80 |
| 8.40 | 16 |
| 8.19 | 35 |
| 7.85 | 16 |
| 7.34 | 29 |
| 7.22 | 25 |
| 7.04 | 30 |
| 6.87 | 18 |
| 6.77 | 11 |
| 6.73 | 11 |
| 6.65 | 21 |
| 6.36 | 12 |
| 6.26 | 26 |
| 5.76 | 31 |
| 5.58 | 79 |
| 5.53 | 100 |
| 5.45 | 61 |
| 5.32 | 42 |
| 5.19 | 39 |
| 5.02 | 55 |
| 4.91 | 69 |
| 4.87 | 51 |
| 4.85 | 57 |
| 4.69 | 44 |
| 4.61 | 68 |

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| | |
|------|----|
| 4.44 | 23 |
| 4.34 | 14 |
| 4.18 | 17 |
| 4.07 | 36 |
| 3.99 | 28 |
| 3.93 | 65 |
| 3.81 | 23 |
| 3.78 | 24 |
| 3.77 | 20 |
| 3.65 | 23 |
| 3.59 | 28 |
| 3.45 | 13 |
| 3.32 | 19 |
| 3.25 | 26 |

31. A compound as claimed in **Claim 27** wherein the pamoate salt is bis(olanzapine) pamoate monohydrate solvate having a typical x-ray powder diffraction pattern as
5 represented by the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 15.77 | 26 |
| 10.44 | 23 |
| 9.64 | 24 |
| 9.31 | 13 |
| 8.27 | 23 |
| 8.17 | 14 |
| 8.13 | 14 |
| 7.84 | 27 |
| 7.81 | 30 |
| 7.41 | 60 |
| 7.12 | 40 |
| 7.00 | 13 |
| 6.96 | 13 |
| 6.55 | 45 |
| 6.18 | 53 |
| 5.87 | 38 |
| 5.80 | 19 |
| 5.59 | 89 |
| 5.25 | 26 |
| 5.00 | 34 |
| 4.96 | 31 |
| 4.88 | 61 |
| 4.85 | 73 |
| 4.71 | 34 |
| 4.52 | 19 |
| 4.33 | 11 |

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| | |
|------|-----|
| 4.19 | 100 |
| 4.12 | 48 |
| 4.05 | 39 |
| 3.97 | 30 |
| 3.89 | 31 |
| 3.80 | 29 |
| 3.72 | 20 |
| 3.70 | 21 |
| 3.58 | 33 |
| 3.45 | 27 |
| 3.04 | 13 |
| 2.84 | 16 |

32. A compound as claimed in **Claim 27** wherein the pamoate salt is olanzapine pamoate THF solvate having a typical x-ray powder diffraction pattern as represented by
5 the following interplanar spacing:

| <u>d-spacing</u> | <u>Intensity</u> |
|------------------|------------------|
| 14.59 | 100 |
| 7.78 | 16 |
| 7.24 | 56 |
| 7.00 | 19 |
| 6.37 | 12 |
| 6.04 | 11 |
| 6.01 | 11 |
| 4.85 | 19 |
| 4.69 | 42 |
| 4.39 | 25 |
| 4.28 | 19 |
| 3.95 | 13 |
| 3.84 | 20 |

33. A method of treating an animal, including a human suffering from or susceptible to psychosis, acute
10 mania or mild anxiety states which comprises administering a pharmaceutically effective amount of a compound of **Claim 27, 28, 29, 30, 31 or 32.**